IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant:	IL HWAN CHO, ET AL.	)	
		)	Group Art Unit:
Serial No.:	10/633,083	)	1625
		)	
Filed:	AUGUST 1, 2003	)	
		)	Examiner:
For:	1, 2, 4-TRIAZOLE DERIVATIVE, METHOD FOR PREPARING THE SAME, AND PHARMACEUTICAL COMPOSITION CONTAINING THE SAME	)	Morris, Patricia L.

DECLARATION PURSUANT TO 37 C.F.R. §1.132

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

The inventor of the above-referenced application declares and says:

1. I, Cho, Il Hwan, declare and say that I am an inventor in US Patent Serial No. 10/633,083 (hereinafter "present application").

2. I, Cho, Il Hwan received a Bachelor's Degree in Chemistry from Sungkyunkwan University in 1983, received a Master's Degree in Chemistry from Sungkyunkwan University in 1989. and a Ph.D. Degree in Chemistry from OHIO State University in 2000. I have been employed by CJ corp., 500 Namdaemunro 5-ga, Jung-gu, Seoul, Republic of Korea, for about 17 years. During that period of time I have been engaged in a research program in the field of drug discovery, in the area of organic chemistry.

3. I have reviewed and understand the reference: EP 1099695 to Pascal et al. (hereinafter "Pascal").

4. Pascal teaches 5-aryl-1H-1,2,4-triazole compounds as inhibitors of cyclooxygenase-2 and pharmaceutical compositions containing them.

5. I tested the COX-1 and COX-2 inhibition % of the compounds of Pascal.

(1) 2-[3-(4-methylsulfonylphenyl)-5-trifluoromethyl-2H-1,2,4-triazole-2-yl]-1H-indole;

(2) 1-methyl-2-[3-(4-methylsulfonylphenyl)-5-trifluoromethyl-2H-1,2,4-triazole-2-yl]-1H-indole;

(3) 1-methyl-3-[3-(4-methylsulfonylphenyl)-5-trifluoromethyl-2H-1,2,4-triazole-2-yl]-1H-indole; and

(4) 2-[3-(4-methylsulfonylphenyl)-5-trifluoromethyl-2H-1,2,4-triazole-2-yl]quinoline, which are positional isomer of the compounds as disclosed in Examples 12, 13, 14 and 15 of the present application thus correspond to the compounds of Pascal and compared with the compounds as disclosed in Examples 12, 13, 14 and 15 of the present application, with respect to the COX-1 and COX-2 inhibition %. The test was conducted according to the method disclosed on pages 26-28 of the present application.

6. Table 1: COX-1 and COX-2 inhibition % of the compounds of Pascal and the compounds of the present application.

Compound of Pascal			Compound of the present application		
Nos.	% inhibition of COX-2 (10 μ M)	% inhibition of COX-2 (10 nM)	Example Nos.	% inhibition of COX-1 (10 μ M)	% inhibition of COX-2 (10 nM)
(1)	46.0	<1	12	26.3	5.67
(2)	15.6	<1	13	9.8	25.7
(3)	34.2	<1	14	21.3	6.02
(4)	56.1	<1	15	22.3	5.42

The above compounds (1) to (4) of Pascal are the position isomers of the compounds as disclosed in the Examples 12, 13, 14 and 15 of the present application,

respectively. The compounds as disclosed in the Examples 12, 13, 14 and 15 of the present application showed superior property in inhibiting COX-2 in comparison of the compounds (1) to (4) of Pascal, as shown in Table 1. In view of the fact that a pharmaceutical effect, for example, an anti-inflammatory effect, depends on the inhibition activities of COX-2, the compound of the present application, showed a higher activity in inhibiting COX-2 than the compound of Pascal did, is superior in treating the anti-inflammatory, in comparison with the compound of Pascal.

Further, the compounds as disclosed in the Examples 12, 13, 14 and 15 of the present application are superior in selectivity for inhibiting COX-2 over COX-1, in comparison of the compounds (1) to (4) of Pascal, as shown in Table 1, which is enough to rebut a prima facie case of obviousness.

9. As shown in Tables 1, the compounds of the present application showed much more COX-2 inhibition % than that of the compounds of Pascal showed. The compound of the present application further showed unexpectedly superior in selective inhibition of COX-2 to COX-1, in comparison with the compounds of Pascal. Therefore, it is established that the compound of the present application has better properties in inhibition of COX-2 or selective inhibition of COX-2 to COX-1 vis-à-vis the compounds of Pascal.

10. I declare that all statements made herein of our own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or document or any patent resulting therefrom.

Date: 26 April 2006 IL HWAN CHO

Cho, Il Hwan